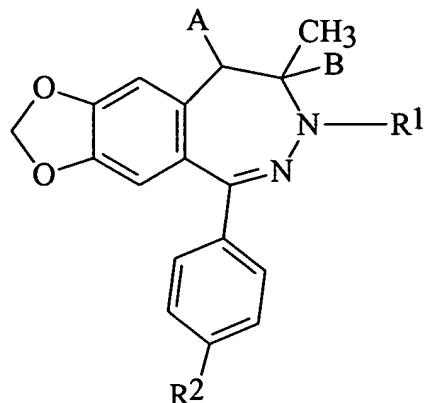


1. (Thrice Amended) A 1,3-dioxolo-[4,5-h] [2,3]benzodiazepine compound of the formula I



*Sub F1*

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

- (CH<sub>2</sub>)<sub>n</sub> - CO - (CH<sub>2</sub>)<sub>m</sub> - R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

*E1*

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

*Sub F1*

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

*AT*  
*Sub*  
*f*

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a  $C_{1-4}$  alkoxy

group, and, in case of the phenoxy ( $C_{1-4}$  alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

*Sub 1*  
p has a value of 0, 1 or 2,

*Sub 2*  
 $R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom

*E*  
*Sub*  
*f1*

or a  $C_{1-14}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

5)  $R$  is other than a chlorine atom; and with the further proviso that

6)  $R^3$  and  $R^4$  cannot form with the adjacent nitrogen atom a pyrrolidine group,

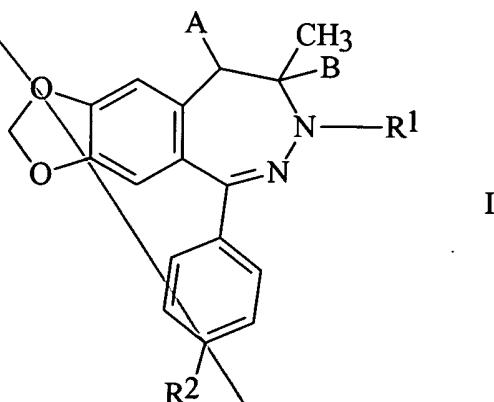
and pharmaceutically suitable acid addition salts thereof.

*E*  
*Sub*  
*f2*

9. (Twice Amended) A pharmaceutical composition comprising a

*E*  
*Sub*  
*f3*

1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

$R^1$  stands for a group of the formula

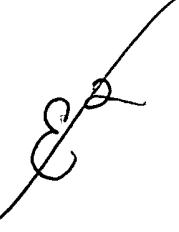
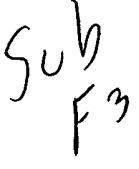
~~-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein~~

~~R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein~~

~~R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or~~

*Sub F3*

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as


  

  
 the heteroatom, and said heterocyclic group is  
 optionally substituted by 1 to 3 identical or  
 different substituent(s) selected from the  
 group consisting of a hydroxy group, a phenyl  
 group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl)  
 group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein  
 in case of the substituents listed the phenyl  
 or phenoxy group is optionally substituted by  
 1 to 3 identical or different substituent(s),  
 wherein the substituent is a halo atom or a  
 C<sub>1-4</sub> alkoxy group, and, in case of the  
 phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is  
 optionally substituted by 1 or 2 hydroxy  
 group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a  
 (C<sub>1-4</sub> alkanoyl)amino group, with the proviso  
 that

- 1) if A forms together with B a valence bond, R<sup>2</sup>  
 stands for a nitro group or an amino group and  
 p has a value of 0, then R<sup>6</sup> is different from a  
 C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup>  
 stands for a nitro group or an amino group, p

*EP*  
 has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

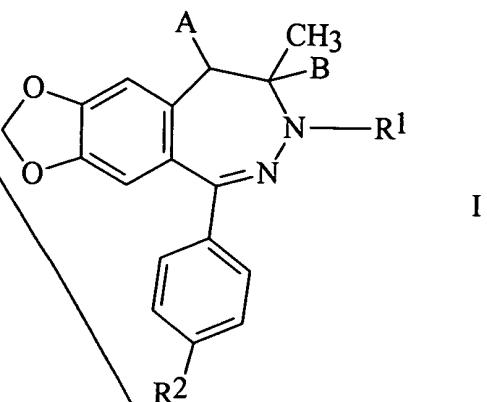
*Sub F3*

- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group,
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group, and
- 5) R<sup>3</sup> and R<sup>4</sup> cannot form with the adjacent nitrogen atom a pyrrolidine group, or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

*o 3*  
 16. (Thrice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated

*Sub F4*

with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

- (CH<sub>2</sub>)<sub>n</sub>-CO- (CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

*E B  
Sub  
f d*

*E3*  
*Sub*  
*Eq*

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a  $C_{1-4}$  alkoxy

group, and, in case of the phenoxy( $C_{1-4}$  alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

*E3*  
Sub ful

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-14}$  alkyl group,
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1

*Sub  
fd*

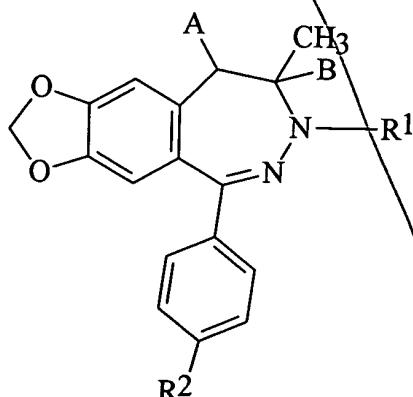
or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

5) R<sup>3</sup> and R<sup>4</sup> cannot form with the adjacent nitrogen atom a pyrrolidine group, and

6) R is other than a chlorine atom;

or a pharmaceutically suitable acid addition salt thereof.

17. (Thrice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h] [2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

~~R<sup>1</sup> stands for a group of the formula~~  
~~-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein~~  
~~R represents a halo atom, a pyridyl group or a group of~~  
~~the formula -NR<sup>3</sup>R<sup>4</sup>, wherein~~  
~~R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a~~  
~~C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an~~  
~~amino group, a phenyl group optionally~~  
~~substituted by one or two C<sub>1-4</sub> alkyl group(s), a~~  
~~C<sub>1-4</sub> alkyl group which latter is optionally~~  
~~substituted by a phenyl group or a saturated~~  
~~heterocyclic group having 5 or 6 members and~~  
~~comprising 1 to 3 nitrogen atom(s) or a nitrogen~~  
~~atom and an oxygen atom as the heteroatom, and~~  
~~said heterocyclic group is optionally substituted~~  
~~by a phenyl group which latter is optionally~~  
~~substituted by 1 to 3 substituent(s), wherein the~~  
~~substituent is a C<sub>1-4</sub> alkoxy group, or~~  
~~R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and~~  
~~optionally with a further nitrogen atom or an~~  
~~oxygen atom, a saturated or unsaturated~~  
~~heterocyclic group having 5 or 6 members, being~~  
~~optionally substituted by a phenyl group that is~~  
~~optionally substituted by 1 to 3 substituents,~~  
~~wherein the substituent is a C<sub>1-4</sub> alkoxy group,~~

~~E~~  
~~Sub~~  
~~F4~~

~~n has a value of 0, 1 or 2,~~

~~m has a value of 0, 1 or 2, or~~

~~A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case~~

~~R<sup>1</sup> represents a group of the formula~~

~~-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein~~

~~R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein~~

~~R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or~~

~~R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or~~

*Sub  
Fu*

more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl ( $C_{1-4}$  alkyl) group or a phenoxy ( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a  $C_{1-4}$  alkoxy group, and, in case of the phenoxy ( $C_{1-4}$  alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,

Sub  
 F4


 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group,

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

5) R is other than a chlorine atom; and with the further proviso that

6) R<sup>3</sup> and R<sup>4</sup> cannot form with the adjacent nitrogen atom a pyrrolidine group,

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.